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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	4	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	5	MAR 02	GBFULL: New full-text patent database on STN
NEWS	6	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	9	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	10	MAR 22	PATDPASPC - New patent database available
NEWS	11	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	12	APR 04	EPFULL enhanced with additional patent information and new fields
NEWS	13	APR 04	EMBASE - Database reloaded and enhanced
NEWS	14	APR 18	New CAS Information Use Policies available online
NEWS	15	APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/Caplus and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	16	APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/Caplus
NEWS	17	MAY 23	GBFULL enhanced with patent drawing images
NEWS	18	MAY 23	REGISTRY has been enhanced with source information from CHEMCATS
NEWS	19	JUN 06	The Analysis Edition of STN Express with Discover! (Version 8.0 for Windows) now available
NEWS	20	JUN 13	RUSSIAPAT: New full-text patent database on STN
NEWS	21	JUN 13	FRFULL enhanced with patent drawing images
NEWS	22	JUN 27	MARPAT displays enhanced with expanded G-group definitions and text labels
NEWS	23	JUL 01	MEDICONF removed from STN
NEWS	24	JUL 07	STN Patent Forums to be held in July 2005
NEWS	25	JUL 13	SCISEARCH reloaded
NEWS	26	JUL 20	Powerful new interactive analysis and visualization software, STN AnaVist, now available
NEWS EXPRESS			JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information

10/625,142

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\*ENCOMPLIT      - EnCompass Literature File 1964-present (Supporters)  
\*ENCOMPLIT2     - EnCompass Literature File 1964-Present (Non-Supporters)  
\*ENCOMPAT       - EnCompass Patent File 1964-present (Supporters)  
\*ENCOMPAT2      - EnCompass Patent File 1964-Present (Non-Supporters)

\* The files listed above are temporarily unavailable.

FILE 'HOME' ENTERED AT 12:18:59 ON 27 JUL 2005

=> file caplus; s (159351-69-6 or 137071-32-0)/prep  
FILE 'CAPLUS' ENTERED AT 12:19:56 ON 27 JUL 2005  
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FILE LAST UPDATED: 26 Jul 2005 (20050726/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

283 159351-69-6  
3334968 PREP/RL  
8 159351-69-6/PREP  
    (159351-69-6 (L) PREP/RL)  
153 137071-32-0  
3334968 PREP/RL  
11 137071-32-0/PREP  
    (137071-32-0 (L) PREP/RL)

L1 16 (159351-69-6 OR 137071-32-0)/PREP

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4760338 P/DT

L2 11 L1 AND P/DT

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L3 5 L1 NOT L2

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PROCESSING COMPLETED FOR L3  
L4 5 SORT L3 PY

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L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:495195 CAPLUS

DOCUMENT NUMBER: 129:183767

TITLE: SDZ-ASM-981. Topical treatment for inflammatory skin diseases ASM-981

AUTHOR(S): Graul, A.; Castaner, J.

CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain

SOURCE: Drugs of the Future (1998), 23(5), 508-512

CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 20 refs., describing synthesis, pharmacol. actions, tolerance, and clin. studies of the ascomycin macrolactam derivative SDZ-ASM-981 for topical treatment of inflammatory skin diseases.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:240859 CAPLUS

DOCUMENT NUMBER: 131:67470

TITLE: SDZ-RAD: immunosuppressant

AUTHOR(S): Sorbera, L. A.; Leeson, P. A.; Castaner, J.

CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain

SOURCE: Drugs of the Future (1999), 24(1), 22-29

CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 53 refs. on preparation, pharmacokinetics, and pharmacol. of the title rapamycin derivative

REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:720795 CAPLUS

DOCUMENT NUMBER: 138:280580

TITLE: FDA new drug approvals in 2001

AUTHOR(S): Zhao, Kang; He, Lan; Reiner, John

CORPORATE SOURCE: The College of Pharmaceuticals and Biotechnology,

Tianjin University, Peop. Rep. China

SOURCE: Frontiers of Biotechnology & Pharmaceuticals (2002), 3, 400-413

CODEN: FBPRBL  
 PUBLISHER: Science Press New York Ltd.  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English

AB A review covering the 24 new drugs approved by the Food and Drug Administration in the year 2001. Therapeutics are grouped according to the following coded areas: (A) agents affecting neurotransmitters and cytokines, (B) antiinflammatory agents, (C) hormone related agents, (D) anti-infectious agents, and (E) miscellaneous agents. A synopsis for each drug includes a brief description of its medical utility, a mechanism of action if known, a chemical structure, and a pathway for its synthesis.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:282538 CAPLUS

DOCUMENT NUMBER: 141:150651

TITLE: Pimecrolimus inhibits the elicitation phase but does not suppress the sensitization phase in murine contact hypersensitivity, in contrast to tacrolimus and cyclosporine A. [Erratum to document cited in CA140:022832]

AUTHOR(S): Meingassner, Josef G.; Fahrngruber, Hermann; Bavandi, Assadollah

CORPORATE SOURCE: Novartis Research Institute, Vienna, Austria

SOURCE: Journal of Investigative Dermatology (2003), 121(5), 1231

CODEN: JIDEAE; ISSN: 0022-202X

PUBLISHER: Blackwell Publishing, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In Figure 2, the number of animals treated with 4 + 90 mg/kg pimecrolimus p.o. is 14 and not 4.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:603337 CAPLUS

DOCUMENT NUMBER: 140:22832

TITLE: Pimecrolimus inhibits the elicitation phase but does not suppress the sensitization phase in murine contact hypersensitivity, in contrast to tacrolimus and cyclosporine A

AUTHOR(S): Meingassner, Josef G.; Fahrngruber, Hermann; Bavandi, Assadollah

CORPORATE SOURCE: Novartis Research Institute, Vienna, Austria

SOURCE: Journal of Investigative Dermatology (2003), 121(1), 77-80

CODEN: JIDEAE; ISSN: 0022-202X

PUBLISHER: Blackwell Publishing, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Pimecrolimus (SDZ ASM 981, Elidel) is a nonsteroid inflammatory cytokine inhibitor specifically developed for the treatment of inflammatory skin diseases. Its effect on the elicitation and sensitization phases of oxazolone-induced contact hypersensitivity was compared with tacrolimus and cyclosporine A (CyA) in BALB/c mice using the ear swelling assay. The compds. were administered orally. Elicitation was dose-dependently inhibited by all three compds. The minimal EDs were 30 mg per kg (pimecrolimus, tacrolimus) and 90 mg per kg (CyA), resp. There was no impairment of sensitization by pimecrolimus up to the highest dose tested

(120 mg per kg), in contrast to CyA (60% inhibition at 60 mg per kg) and tacrolimus (71% inhibition at 30 mg per kg). Weight and cellularity of the draining lymph nodes in mice treated with tacrolimus or CyA during sensitization were reduced. In addition, proliferation of T cells after secondary stimulation was inhibited in cell cultures from lymph nodes of mice treated with tacrolimus or CyA. Thus, in contrast to tacrolimus and CyA, pimecrolimus exerts a more selective immunomodulatory effect. It does not impair the primary immune response (sensitization phase) but effectively inhibits the secondary phase, the elicitation phase that is the clin. manifestation of contact hypersensitivity.

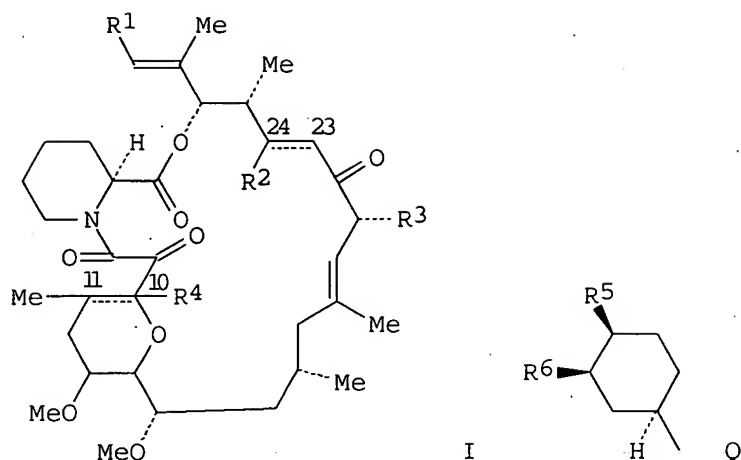
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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 SORT ENTIRE ANSWER SET? (Y)/N:..  
 PROCESSING COMPLETED FOR L2  
 L5 11 SORT L2 PY

=> d 1-11 ibib abs

L5 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1992:128509 CAPLUS  
 DOCUMENT NUMBER: 116:128509  
 TITLE: Preparation of tricyclic macrolides as drugs  
 INVENTOR(S): Baumann, Karl; Emmer, Gerhard  
 PATENT ASSIGNEE(S): Austria  
 SOURCE: Can. Pat. Appl., 66 pp.  
 CODEN: CPXXEB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2029694	AA	19910510	CA 1990-2029694	19901109
CA 2029694	C	20010508		
IL 96268	A1	19960723	IL 1990-96268	19901107
ZA 9009024	A	19920729	ZA 1990-9024	19901109
PRIORITY APPLN. INFO.:			DE 1989-3937336	A 19891109
			DE 1989-3938132	A 19891116
			DE 1989-3942831	A 19891223
			DE 1989-3942833	A 19891223
OTHER SOURCE(S):	MARPAT	116:128509		
GI				



AB The title compds. [I; R1 = Q, etc.; R2 = oxo or H2 when there is a single bond between C(23) and C(24), (protected) OH when there is a double bond between C(23) and C(24); R5 = Cl, Br, iodo; R6 = OH, MeO; R3 = Me, Et, Pr, allyl; R4 = OH when there is a single bond between C(10) and C(11), H when there is a double bond between C(10) and C(11)], useful as antiinflammatory immunosuppressants, antiproliferatives, and chemotherapeutic drug resistance reversing agents, were prepared. FK506 was tert-butyldimethylsilylated with tert-butyldimethylchlorosilane and the resulting 24-tert-butyldimethylsilyloxy-FK506 was refluxed with Ph3Pin CCl4 to give 24-tert-butyldimethylsilyloxy-33-epi-33-chloro-FK506. In an in vivo test using a method described in Int. Arch. Allergy 38 (1970) (oxazolone allergic contact dermatitis in the mouse) topical administration of a 0.01% of I effected an activity between 15 and 68%.

L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:631991 CAPLUS

DOCUMENT NUMBER: 115:231991

TITLE: Preparation of FK506 analogs as drugs

INVENTOR(S): Baumann, Karl; Emmer, Gerhard

PATENT ASSIGNEE(S): Sandoz A.-G., Switz.; Sandoz-Patent-G.m.b.H.;  
Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE: Eur. Pat. Appl., 44 pp.

CODEN: EPXXDW

DOCUMENT TYPE: **Patent**

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

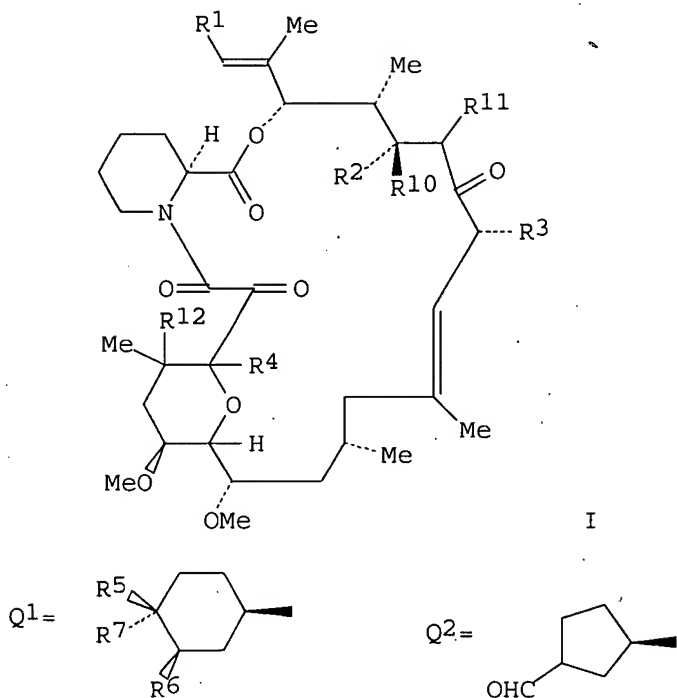
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 427680	A1	19910515	EP 1990-810854	19901107
EP 427680	B1	19950823		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 9065843	A1	19910523	AU 1990-65843	19901107
AU 640963	B2	19930909		
ES 2077663	T3	19951201	ES 1990-810854	19901107
IL 96268	A1	19960723	IL 1990-96268	19901107
JP 03223291	A2	19911002	JP 1990-305894	19901108
JP 2750302	B2	19980513		

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KR 166074	B1	19990115	KR 1990-18016	19901108
ZA 9009024	A	19920729	ZA 1990-9024	19901109
LV 11621	B	19970420	LV 1996-253	19960717
PRIORITY APPLN. INFO.:			DE 1989-3937336	A 19891109
			DE 1989-3938132	A 19891116
			DE 1989-3942831	A 19891223
			DE 1989-3942833	A 19891223
			DE 1990-4006819	A 19900305

OTHER SOURCE(S): MARPAT 115:231991  
GI

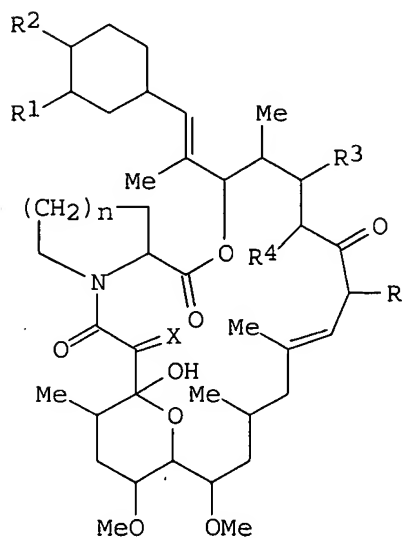


AB The title compds. [I in which R1 = cyclohexyl group Q1; R2R10 = O and R4 = H or R2 = (un)protected OH and R10 = R11 or R10R11 = bond or R1 = H and R10R11 = bond; R3 = Me, Et, Pr, allyl; R4 = OH and R12 = H; R4R12 = bond; R5 = Cl, Br, iodo, N3; R6 = OH, MeO; R7 = H; I in which R1 = Q1 or cyclopentyl group Q2; R2, R3, R5, R6, R7, R10, and R11 as above; R4 = OH and R12 = H; R5 = H; I in which R1 = Q1; R3, R4, and R6 as above; R2R10 = O and R11 = H; R2 = H and R10R11 = bond; R2 = (un)protected OH, MeO, OCH2SMe, MeCHCO2, etc. and R10 = R11 = H or R10R11 = bond; R5 = H; R7 = groups cited for R2, etc.; R5R7 = O] were prepared as antiproliferative, antiinflammatory, and immunosuppressant agents (no data). Thus, FK506 was diprotected and monodeprotected to give 24-tert-butyldimethylsilyloxy-FK506 which was refluxed 15 h with Ph3P in CCl4 to give I (R1 = Q1, R2 = OSiMe2CMe3, R3 = allyl, R4 = OH, R5 = Cl, R6 = OMe, R7 = H, R10 = R12 = H).

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1992:511384 CAPLUS  
DOCUMENT NUMBER: 117:111384

TITLE: New halomacrolides and derivatives having immunosuppressive activity  
 INVENTOR(S): Bochis, Richard J.; Wyvratt, Matthew J., Jr.  
 PATENT ASSIGNEE(S): Merck and Co. Inc., USA  
 SOURCE: Eur. Pat. Appl., 39 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 480623	A1	19920415	EP 1991-309025	19911002
R: CH, DE, FR, GB, IT, LI, NL				
US 5143918	A	19920901	US 1991-759747	19910912
CA 2052885	AA	19920412	CA 1991-2052885	19911007
JP 04257590	A2	19920911	JP 1991-263732	19911011
PRIORITY APPLN. INFO.:			US 1990-596177	A 19901011
OTHER SOURCE(S):	MARPAT 117:111384			
GI				



I

AB Macrolides I (R = Me, Et, Pr, allyl; R1, R2 = halo, OH, alkoxy; R3 = H, OH, R4 = H; R3R4 = bond; X = O, H, OH; n = 1, 2) were prepared. Thus, I [R = Et, R1 = OMe, R4 = H, X = O, n = 2 (II)] (R2 =  $\beta$ -Cl, R3 = OH) was prepared from II (R2, R3 = OH) by selective silylation, acylation with 4-O2NC6H4SO2Cl, treatment of II [R2 = O3SC6H4NO2-4, R3 = OSi(CHMe2)3] with LiCl, and deblocking. II (R2 =  $\beta$ -Cl, R3 = OH) had a T cell proliferation-inhibiting ED50 of  $<1 + 10^{-6}$  M.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:220179 CAPLUS

DOCUMENT NUMBER: 122:9774

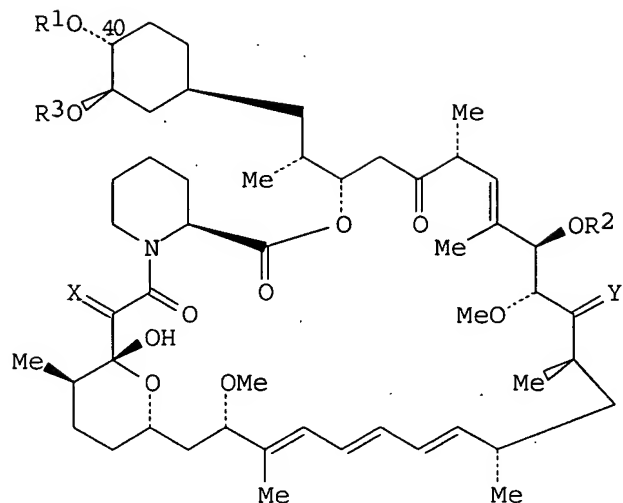
TITLE: O-alkylated rapamycin derivatives and their use,



INVENTOR(S): particularly as immunosuppressants  
 Cottens, Sylvain; Sedrani, Richard  
 PATENT ASSIGNEE(S): Sandoz-Erfindungen Verwaltungsgesellschaft M.B.H.,  
 Austria; Sandoz-Patent-GmbH; Sandoz Ltd.  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: **Patent**  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9409010	A1	19940428	WO 1993-EP2604	19930924
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RO, RU, SK, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2145383	AA	19940428	CA 1993-2145383	19930924
CA 2145383	C	20041116		
CA 2476257	AA	19940428	CA 1993-2476257	19930924
AU 9348192	A1	19940509	AU 1993-48192	19930924
AU 676198	B2	19970306		
EP 663916	A1	19950726	EP 1993-920822	19930924
EP 663916	B1	19981125		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 71232	A2	19951128	HU 1995-1016	19930924
JP 08502266	T2	19960312	JP 1994-509552	19930924
JP 3117462	B2	20001211		
CZ 283333	B6	19980218	CZ 1995-899	19930924
AT 173736	E	19981215	AT 1993-920822	19930924
ES 2124793	T3	19990216	ES 1993-920822	19930924
PL 176174	B1	19990430	PL 1993-308268	19930924
RO 114451	B1	19990430	RO 1995-686	19930924
RU 2143434	C1	19991227	RU 1995-110053	19930924
EP 1413581	A1	20040428	EP 2003-28783	19930924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 272063	E	20040815	AT 1997-114343	19930924
ES 2225919	T3	20050316	ES 1997-114343	19930924
NO 9501312	A	19950608	NO 1995-1312	19950405
NO 307053	B1	20000131		
FI 9501678	A	19950407	FI 1995-1678	19950407
FI 109540	B1	20020830		
US 5665772	A	19970909	US 1995-416673	19950407
US 6440990	B1	20020827	US 1997-862911	19970523
EP 867438	A1	19980930	EP 1997-114343	19970903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 11240884	A2	19990907	JP 1998-308355	19981029
JP 3568800	B2	20040922		
FI 2000001943	A	20000904	FI 2000-1943	20000904
PRIORITY APPLN. INFO.:				
			GB 1992-21220	A 19921009
			CA 1993-2145383	A3 19930924
			EP 1993-920822	A3 19930924
			WO 1993-EP2604	W 19930924
			US 1995-416673	A3 19950407
			EP 1997-114343	A3 19970903

OTHER SOURCE(S): MARPAT 122:9774  
 GI



AB Novel O-alkylated derivs. of rapamycin I [X = O, H<sub>2</sub>; Y = O, H, OH; R<sub>1</sub>, R<sub>2</sub> = H, (un)substituted alkyl, alkenyl, organosilyl; R<sub>3</sub> = Me; R<sub>1</sub>R<sub>3</sub> = alkylene], especially 40-O-alkylated derivs., have pharmaceutical utility, particularly as immunosuppressants. Rapamycin was treated with Me<sub>3</sub>CSiMe<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O<sub>3</sub>SCF<sub>3</sub> and desilylated to give 40-O-(2-hydroxyethyl)rapamycin which had the following IC<sub>50</sub> relative to rapamycin 1: mixed lymphocyte reaction 2.2, IL-6-dependent proliferation 2.8, macrophilin binding 3.4.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:183900 CAPLUS

DOCUMENT NUMBER: 122:187265

TITLE: Heteroatom-containing macrolides and their antiinflammatory, immunosuppressive, and antiproliferative activity

INVENTOR(S): Baumann, Karl; Emmer, Gerhart

PATENT ASSIGNEE(S): Sandoz Ltd., Switz.

SOURCE: U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 609,280, abandoned.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

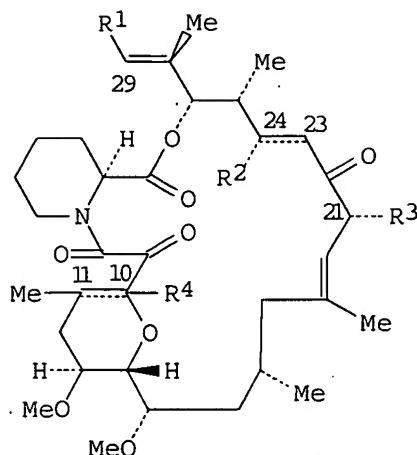
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5352671	A	19941004	US 1991-697864	19910509
IL 96268	A1	19960723	IL 1990-96268	19901107
ZA 9009024	A	19920729	ZA 1990-9024	19901109
US 5912238	A	19990615	US 1994-276276	19940718
PRIORITY APPLN. INFO.:			DE 1989-3937336	A 19891109
			DE 1989-3938132	A 19891116
			DE 1989-3942831	A 19891223
			DE 1989-3942833	A 19891223
			DE 1990-4006819	A 19900305
			US 1990-609280	B2 19901105
			US 1991-697864	A3 19910509

OTHER SOURCE(S) :  
GI

MARPAT 122:187265



I

AB The invention concerns the compds. of formula I wherein the substituents have various significances. They are prepared by several processes including epimerizing replacement, treatment with cyanogen bromide or thiophosgene, treatment with an acid having a non-nucleophilic anion, treatment with DMSO and acetic anhydride, acylation, treatment with an oxalyl derivative and ammonia, methylation, oxidation, deprotection and protection. They possess interesting pharmacol. activity as antiinflammatory (e.g., 15-68% activity at topical concentration of about 0.01%), immunosuppressant (IC<sub>50</sub> from 0.0024 to 0.32 µg/mL), antiproliferative (IC<sub>50</sub> dose from < 0.0008 µg/mL to about 0.09 µg/mL) and chemotherapeutic drug resistance reversing agents. Pharmaceutical formulations are given.

L5 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:696697 CAPLUS

DOCUMENT NUMBER: 137:218731

TITLE: Process for purifying a cyclosporin

INVENTOR(S): Fuenfschilling, Peter; Schenkel, Berthold

PATENT ASSIGNEE(S): Switz.

SOURCE: U.S. Pat. Appl. Publ., 11 pp., Cont. of U. S. Ser. No. 652,295, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: **Patent**

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002128470	A1	20020912	US 2001-21117	20011029
US 6620325	B2	20030916		
CH 692839	A	20021129	CH 1997-2085	19970905
US 2004050782	A1	20040318	US 2003-624997	20030723
US 2005072736	A1	20050407	US 2003-625142	20030723
PRIORITY APPLN. INFO.:			GB 1996-18952	A 19960911

US 1997-926722 B1 19970910  
 US 1999-271672 B1 19990318  
 US 2000-652295 B1 20000831  
 US 2001-21117 A3 20011029

AB This invention provides a process for purifying a cyclosporin, e.g. cyclosporin A, or a macrolide, to a high degree of purity on a large scale. In another aspect this invention provides a bulk quantity of cyclosporin A with an impurity level of less than about 0.7%, e.g. about 0.5%, and comps. thereof.

L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:875067 CAPLUS

DOCUMENT NUMBER: 139:354487

TITLE: Polymer compositions containing a macrocyclic triene compound

INVENTOR(S): Shulze, John E.; Betts, Ronald E.; Savage, Douglas R.

PATENT ASSIGNEE(S): Sun Bow Co., Ltd., Bermuda; Sun Biomedical Ltd.

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003090684	A2	20031106	WO 2003-US12746	20030424
WO 2003090684	A3	20040226		
WO 2003090684	B1	20040513		
WO 2003090684	C1	20041216		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003125800	A1	20030703	US 2002-133814	20020424
WO 2003090818	A2	20031106	WO 2003-US12750	20030424
WO 2003090818	A3	20031204		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1505930	A2	20050216	EP 2003-747310	20030424
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EP 1518517	A2	20050330	EP 2004-78429	20030424
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PRIORITY APPLN. INFO.: US 2002-133814 A1 20020424  
 US 2001-337970P P 20011105  
 EP 2003-747310 A3 20030424  
 WO 2003-US12750 W 20030424

OTHER SOURCE(S): MARPAT 139:354487

AB A polymer composition for use in delivering a macrocyclic triene compound to a subject is described. The polymer composition is comprised of a polymer substrate containing as the macrocyclic triene compound a 40-O-hydroxy alkyl rapamycin derivative, where the alkyl group contains between 7-11 carbon atoms. The composition is useful for treating any condition responsive to rapamycin or everolimus. Everolimus [40-O-(2-hydroxyethyl)rapamycin] was prepared and a stent containing everolimus in a polylactide coating was prepared

L5 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:532138 CAPLUS

DOCUMENT NUMBER: 139:106521

TITLE: Medical devices containing rapamycin analogs

INVENTOR(S): Mollison, Karl W.; Lecaptain, Angela M.; Burke, Sandra E.; Cromack, Keith R.; Tarcha, Peter J.; Chen, Yen-chih J.; Toner, John L.

PATENT ASSIGNEE(S): T-Ram, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 950,307.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003129215	A1	20030710	US 2002-235572	20020906
US 6015815	A	20000118	US 1998-159945	19980924
US 6329386	B1	20011211	US 1999-433001	19991102
US 2002123505	A1	20020905	US 2001-950307	20010910
US 6890546	B2	20050510		
CA 2460074	AA	20030320	CA 2002-2460074	20020910
CA 2497640	AA	20040318	CA 2003-2497640	20030310
WO 2004022124	A1	20040318	WO 2003-US7383	20030310

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1536850 A1 20050608 EP 2003-714060 20030310

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

US 2004234573 A1 20041125 US 2004-488815 20040305

PRIORITY APPLN. INFO.: US 1998-159945 A3 19980924  
 US 1999-433001 A2 19991102  
 US 2001-950307 A2 20010910  
 US 1997-60105P P 19970926  
 US 2002-235572 A 20020906

WO 2002-US28776 W 20020910  
 WO 2002-US28798 A 20020910  
 WO 2003-US7383 W 20030310

AB A medical device comprising a supporting structure and a coating containing a therapeutic substance, such as, for example, a drug. Supporting structures for the medical devices that are suitable for use in this invention include, but are not limited to, coronary stents, peripheral stents, catheters, arterio-venous grafts, bypass grafts, and drug delivery balloons used in the vasculature. Drugs that are suitable for use in this invention include, but are not limited to, rapamycin analogs. The drug can be used in combination with a drug selected from anti-proliferative agents, antiplatelet agents, anti-inflammatory agents, antithrombotic agents, cytotoxic drugs, agents that inhibit cytokine or chemokine binding, cell de-differentiation inhibitors, cytostatic drugs, or combinations of these drugs. For example, the rapamycin analog A-179578, when compounded and delivered from a coronary stent, favorably affected neointimal hyperplasia and lumen size in porcine coronary arteries.

L5 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:878401 CAPLUS

DOCUMENT NUMBER: 141:367859

TITLE: Process for the crystallization and purification of macrolides

INVENTOR(S): Keri, Vilmos; Csorvasi, Andrea

PATENT ASSIGNEE(S): Biogal Gyogyszergyar Rt., Hung.; Teva Pharmaceuticals USA, Inc.; Teva Gyogyszergyar Reszvenytarsasag

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089958	A2	20041021	WO 2004-US10033	20040331
WO 2004089958	A3	20050113		
WO 2004089958	B1	20050303		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004226501	A1	20041118	US 2004-815339	20040331
EP 1513847	A2	20050316	EP 2004-758730	20040331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
TR 200500302	T3	20050421	TR 2005-200500302	20040331
PRIORITY APPLN. INFO.:				
			US 2003-459591P	P 20030331
			US 2003-461707P	P 20030409
			US 2003-512887P	P 20031020
			WO 2004-US10033	W 20040331

AB A method for the crystallization and purification of a macrolide such as tacrolimus,

Thomas McKenzie

sirolimus, pimecrolimus, or everolimus is described which includes the step of providing a combination of a macrolide (e.g., a tacrolimus fermentation broth), and a polar solvent, dipolar aprotic solvent, or hydrocarbon solvent at pH of  $\geq 7$ .

L5 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:41124 CAPLUS

DOCUMENT NUMBER: 140:93840

TITLE: Rapamycin and O-alkylated rapamycin derivatives for alleviation and inhibition of lymphoproliferative disorders

INVENTOR(S): Wasik, Mariusz A.; Shaw, Leslie M.

PATENT ASSIGNEE(S): The Trustees of the University of Pennsylvania, USA

SOURCE: U.S. Pat. Appl. Publ., 23 pp.

CODEN: USXXCO

DOCUMENT TYPE: **Patent**

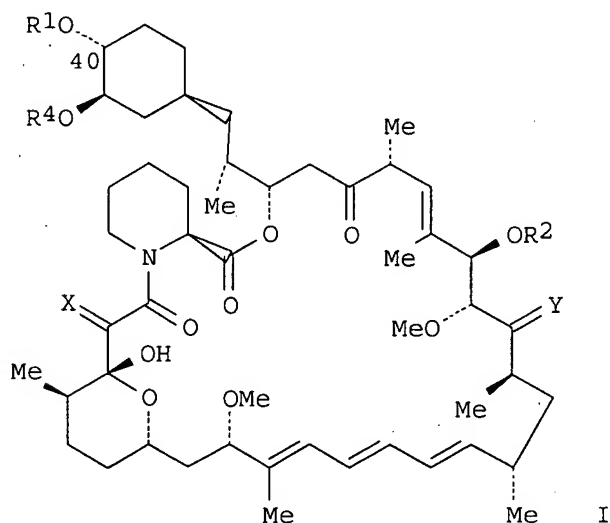
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004010002	A1	20040115	US 2002-192193	20020709
PRIORITY APPLN. INFO.:			US 2002-192193	20020709
OTHER SOURCE(S):	MARPAT	140:93840		

GI



AB The present invention relates to methods of alleviating and inhibiting a lymphoproliferative disorder in a mammal, the method comprising administering one or more rapamycin derivs. such as I [X = H<sub>2</sub>, O; Y = H(OH), O; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, thioalkyl, arylalkyl, hydroxyalkyl, alkoxyalkyl, acyloxyalkyl, aminoalkyl, acylaminoalkyl, arylsulfonamidoalkyl, (R<sub>3</sub>)<sub>3</sub>Si; R<sub>3</sub> = H, Me, Et, iso-Pr, tert-Bu, phenyl; R<sub>4</sub> = Me; R<sub>1</sub>R<sub>4</sub> = alkylene], (including rapamycin) to the mammal. Further, the invention provides a method for identifying agents which are useful for alleviating and inhibiting a lymphoproliferative disorders, as well as a method for identifying agents which are capable of inhibiting metastasis

of lymphatic tumors in a mammal.

L5 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2005:99513 CAPLUS  
 DOCUMENT NUMBER: 142:134837  
 TITLE: Method of purifying glycoside macrolides using  
 sorption resin and suitable eluent solvents  
 INVENTOR(S): Keri, Vilmos; Czovek, Zoltan  
 PATENT ASSIGNEE(S): Biogal Gyogyszergyar Rt., Hung.; Teva Pharmaceuticals  
 USA, Inc.; Teva Gyogyszergyar Reszvenytarsasag;  
 Csorvasi, Andrea; Rantal, Ferenc  
 SOURCE: PCT Int. Appl., 29 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: **Patent**  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005010015	A1	20050203	WO 2004-US24318	20040726
WO 2005010015	B1	20050317		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005027112	A1	20050203	US 2004-899757	20040726
PRIORITY APPLN. INFO.:			US 2003-490070P	P 20030724
			US 2004-539363P	P 20040126
AB Provided is a method of purifying a macrolide, especially tacrolimus, that includes loading macrolide onto a bed of sorption resin and eluting with a suitable eluent such as a combination of water and THF.				
REFERENCE COUNT:		4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT	

=> d 1-5 15 ibib hitstr

L5 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1992:128509 CAPLUS  
 DOCUMENT NUMBER: 116:128509  
 TITLE: Preparation of tricyclic macrolides as drugs  
 INVENTOR(S): Baumann, Karl; Emmer, Gerhard  
 PATENT ASSIGNEE(S): Austria  
 SOURCE: Can. Pat. Appl., 66 pp.  
 CODEN: CPXXEB  
 DOCUMENT TYPE: **Patent**  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CA 2029694	AA	19910510	CA 1990-2029694	19901109
CA 2029694	C	20010508		
IL 96268	A1	19960723	IL 1990-96268	19901107
ZA 9009024	A	19920729	ZA 1990-9024	19901109
PRIORITY APPLN. INFO.:			DE 1989-3937336	A 19891109
			DE 1989-3938132	A 19891116
			DE 1989-3942831	A 19891223
			DE 1989-3942833	A 19891223

OTHER SOURCE(S): MARPAT 116:128509

IT 137071-32-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)  
 (preparation of, as drug)

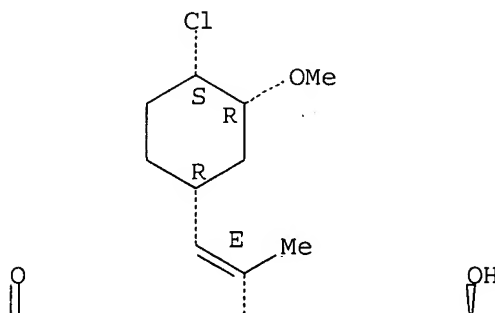
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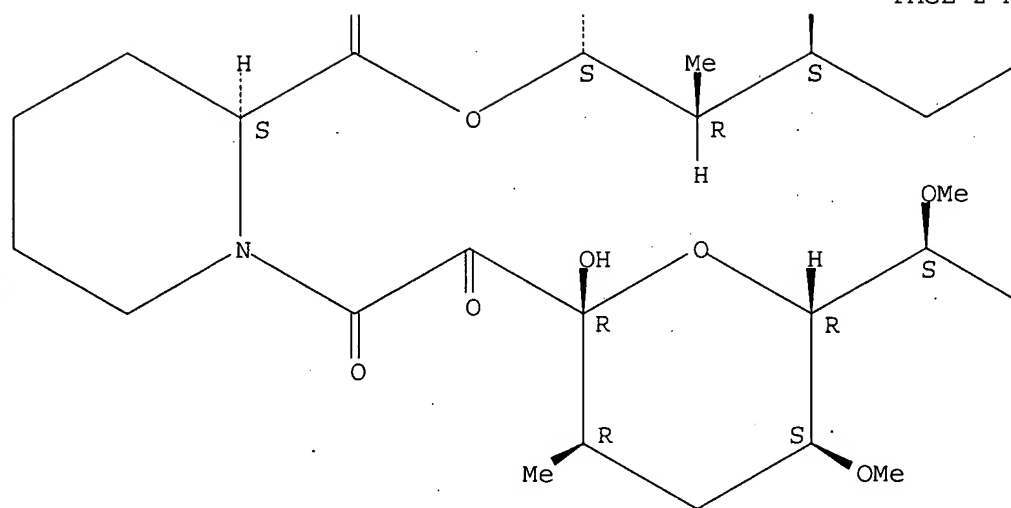
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 tetrone, 3-[(1E)-2-[(1R,3R,4S)-4-chloro-3-methoxycyclohexyl]-1-  
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 hexadecahydro-5,19-dihydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-,  
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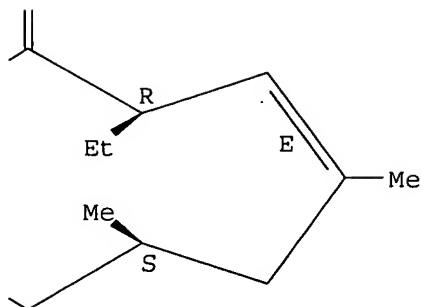
Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A







L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1991:631991 CAPLUS  
 DOCUMENT NUMBER: 115:231991  
 TITLE: Preparation of FK506 analogs as drugs  
 INVENTOR(S): Baumann, Karl; Emmer, Gerhard  
 PATENT ASSIGNEE(S): Sandoz A.-G., Switz.; Sandoz-Patent-G.m.b.H.;  
 Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.  
 SOURCE: Eur. Pat. Appl., 44 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: **Patent**  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 427680	A1	19910515	EP 1990-810854	19901107
EP 427680	B1	19950823		
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AU 9065843	A1	19910523	AU 1990-65843	19901107
AU 640963	B2	19930909		
ES 2077663	T3	19951201	ES 1990-810854	19901107
IL 96268	A1	19960723	IL 1990-96268	19901107
JP 03223291	A2	19911002	JP 1990-305894	19901108
JP 2750302	B2	19980513		
KR 166074	B1	19990115	KR 1990-18016	19901108
ZA 9009024	A	19920729	ZA 1990-9024	19901109
LV 11621	B	19970420	LV 1996-253	19960717
PRIORITY APPLN. INFO.:			DE 1989-3937336	A 19891109
			DE 1989-3938132	A 19891116
			DE 1989-3942831	A 19891223
			DE 1989-3942833	A 19891223
			DE 1990-4006819	A 19900305

OTHER SOURCE(S): MARPAT 115:231991.

IT 137071-32-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)  
 (preparation of, as drug)

RN 137071-32-0 CAPLUS

CN 15,19-Epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosine-1,7,20,21(4H,23H)-tetrone, 3-[(1E)-2-[(1R,3R,4S)-4-chloro-3-methoxycyclohexyl]-1-methylethenyl]-8-ethyl-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-,

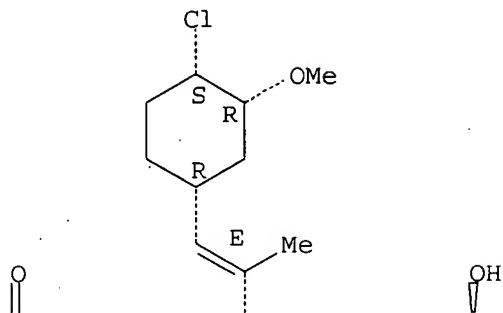
10/625,142

(3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

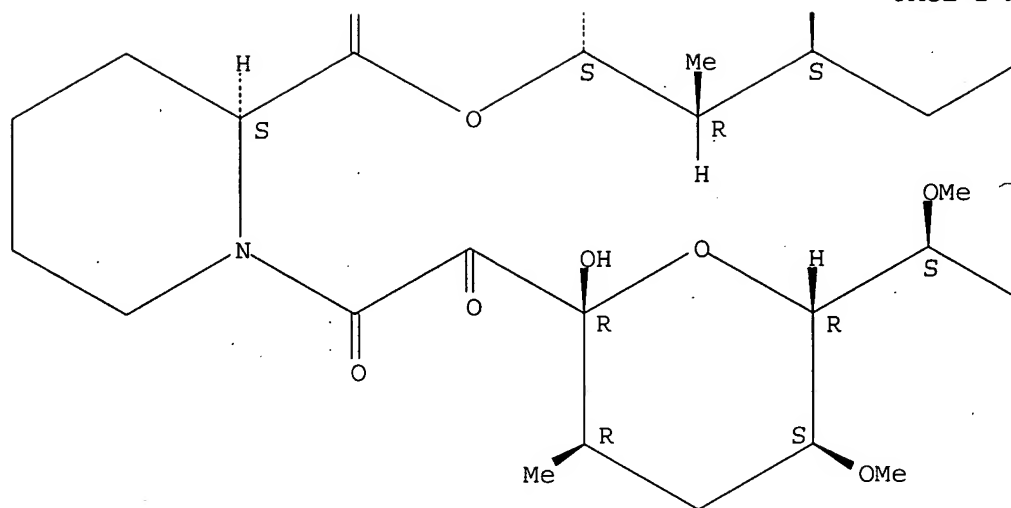
PAGE 1-A



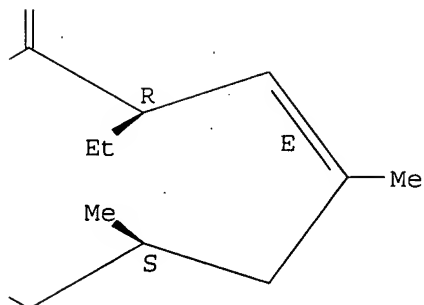
PAGE 1-B



PAGE 2-A



PAGE 2-B



L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1992:511384 CAPLUS  
 DOCUMENT NUMBER: 117:111384  
 TITLE: New halomacrolides and derivatives having immunosuppressive activity  
 INVENTOR(S): Bochis, Richard J.; Wyvratt, Matthew J., Jr.  
 PATENT ASSIGNEE(S): Merck and Co. Inc., USA  
 SOURCE: Eur. Pat. Appl., 39 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 480623	A1	19920415	EP 1991-309025	19911002
R: CH, DE, FR, GB, IT, LI, NL				
US 5143918	A	19920901	US 1991-759747	19910912

10/625,142

CA 2052885	AA	19920412	CA 1991-2052885	19911007
JP 04257590	A2	19920911	JP 1991-263732	19911011
PRIORITY APPLN. INFO.:			US 1990-596177	A 19901011
OTHER SOURCE(S):	MARPAT 117:111384			

IT 137071-32-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)  
(preparation and immunosuppressant activity of)

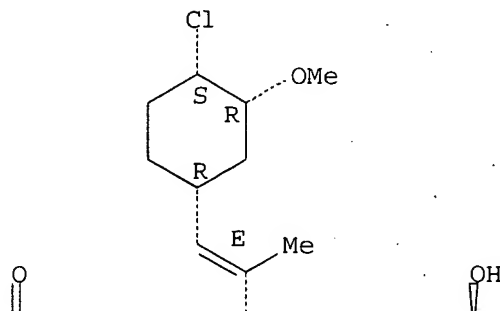
RN 137071-32-0. CAPLUS

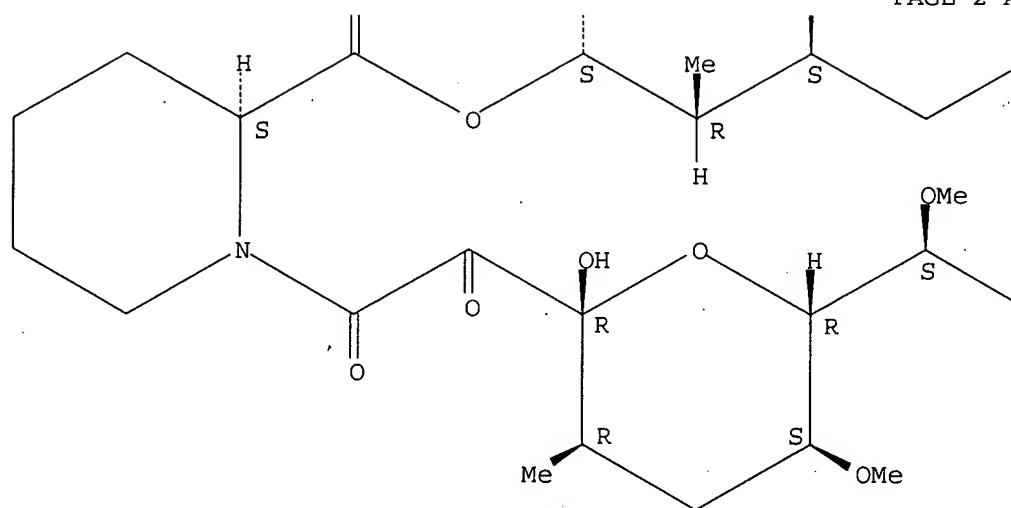
CN 15,19-Epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosine-1,7,20,21(4H,23H)-tetrone, 3-[(1E)-2-[(1R,3R,4S)-4-chloro-3-methoxycyclohexyl]-1-methylethenyl]-8-ethyl-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-, (3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS) - (9CI) (CA INDEX NAME)

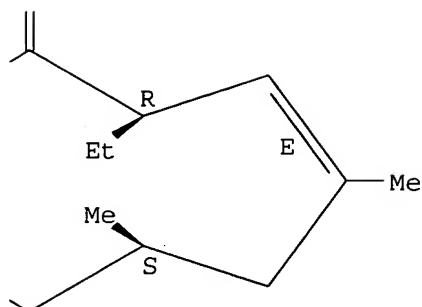
Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A







L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1995:220179 CAPLUS  
 DOCUMENT NUMBER: 122:9774  
 TITLE: O-alkylated rapamycin derivatives and their use,  
 particularly as immunosuppressants  
 INVENTOR(S): Cottens, Sylvain; Sedrani, Richard  
 PATENT ASSIGNEE(S): Sandoz-Erfindungen Verwaltungsgesellschaft M.B.H.,  
 Austria; Sandoz-Patent-GmbH; Sandoz Ltd.  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9409010	A1	19940428	WO 1993-EP2604	19930924
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RO, RU, SK, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2145383	AA	19940428	CA 1993-2145383	19930924
CA 2145383	C	20041116		
CA 2476257	AA	19940428	CA 1993-2476257	19930924
AU 9348192	A1	19940509	AU 1993-48192	19930924
AU 676198	B2	19970306		
EP 663916	A1	19950726	EP 1993-920822	19930924
EP 663916	B1	19981125		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 71232	A2	19951128	HU 1995-1016	19930924
JP 08502266	T2	19960312	JP 1994-509552	19930924
JP 3117462	B2	20001211		
CZ 283333	B6	19980218	CZ 1995-899	19930924
AT 173736	E	19981215	AT 1993-920822	19930924
ES 2124793	T3	19990216	ES 1993-920822	19930924
PL 176174	B1	19990430	PL 1993-308268	19930924
RO 114451	B1	19990430	RO 1995-686	19930924
RU 2143434	C1	19991227	RU 1995-110053	19930924
EP 1413581	A1	20040428	EP 2003-28783	19930924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 272063	E	20040815	AT 1997-114343	19930924
ES 2225919	T3	20050316	ES 1997-114343	19930924
NO 9501312	A	19950608	NO 1995-1312	19950405
NO 307053	B1	20000131		



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FI 9501678	A	19950407	FI 1995-1678	19950407
FI 109540	B1	20020830		
US 5665772	A	19970909	US 1995-416673	19950407
US 6440990	B1	20020827	US 1997-862911	19970523
EP 867438	A1	19980930	EP 1997-114343	19970903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 11240884	A2	19990907	JP 1998-308355	19981029
JP 3568800	B2	20040922		
FI 2000001943	A	20000904	FI 2000-1943	20000904
PRIORITY APPLN. INFO.:				
			GB 1992-21220	A 19921009
			CA 1993-2145383	A3 19930924
			EP 1993-920822	A3 19930924
			WO 1993-EP2604	W 19930924
			US 1995-416673	A3 19950407
			EP 1997-114343	A3 19970903

OTHER SOURCE(S): MARPAT 122:9774

IT **159351-69-6P**

RL: SPN (Synthetic preparation); **PREP (Preparation)**

(preparation and immunosuppressant and neoplasm-inhibiting activity of)

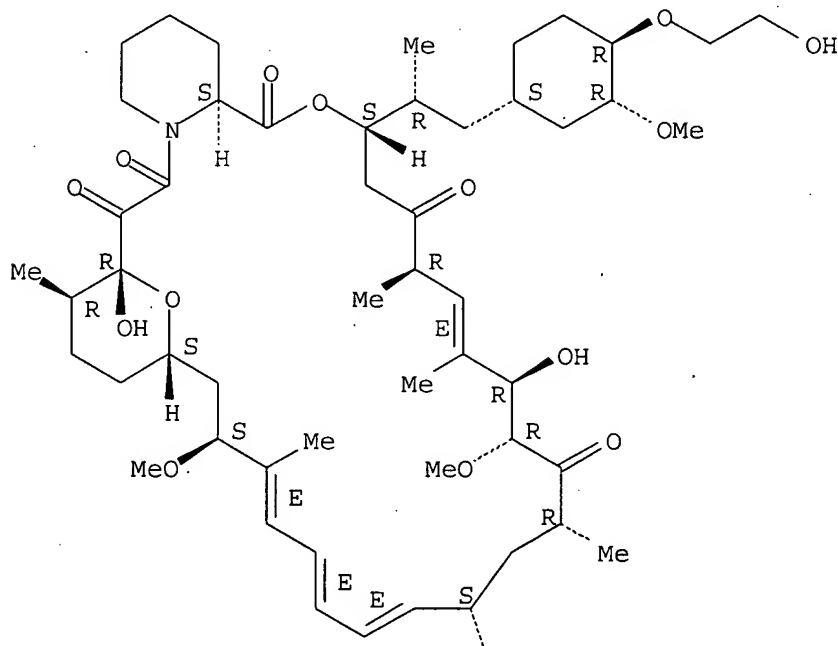
RN 159351-69-6 CAPLUS

CN Rapamycin, 42-O-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

Me

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1995:183900 CAPLUS  
 DOCUMENT NUMBER: 122:187265  
 TITLE: Heteroatom-containing macrolides and their  
 antiinflammatory, immunosuppressive, and  
 antiproliferative activity  
 INVENTOR(S): Baumann, Karl; Emmer, Gerhart  
 PATENT ASSIGNEE(S): Sandoz Ltd., Switz.  
 SOURCE: U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 609,280,  
 abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: **Patent**  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5352671	A	19941004	US 1991-697864	19910509
IL 96268	A1	19960723	IL 1990-96268	19901107
ZA 9009024	A	19920729	ZA 1990-9024	19901109
US 5912238	A	19990615	US 1994-276276	19940718
PRIORITY APPLN. INFO.:			DE 1989-3937336	A 19891109
			DE 1989-3938132	A 19891116
			DE 1989-3942831	A 19891223
			DE 1989-3942833	A 19891223
			DE 1990-4006819	A 19900305
			US 1990-609280	B2 19901105
			US 1991-697864	A3 19910509

OTHER SOURCE(S): MARPAT 122:187265

IT 137071-32-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**

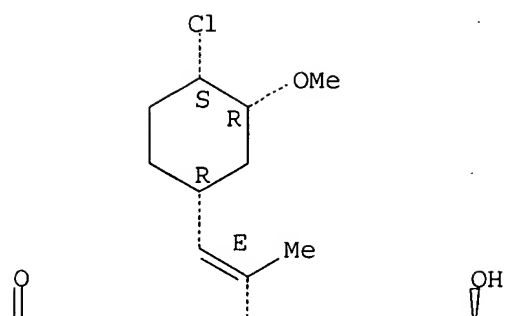
(heteroatom-containing macrolides and their antiinflammatory, immunosuppressive, and antiproliferative activity)

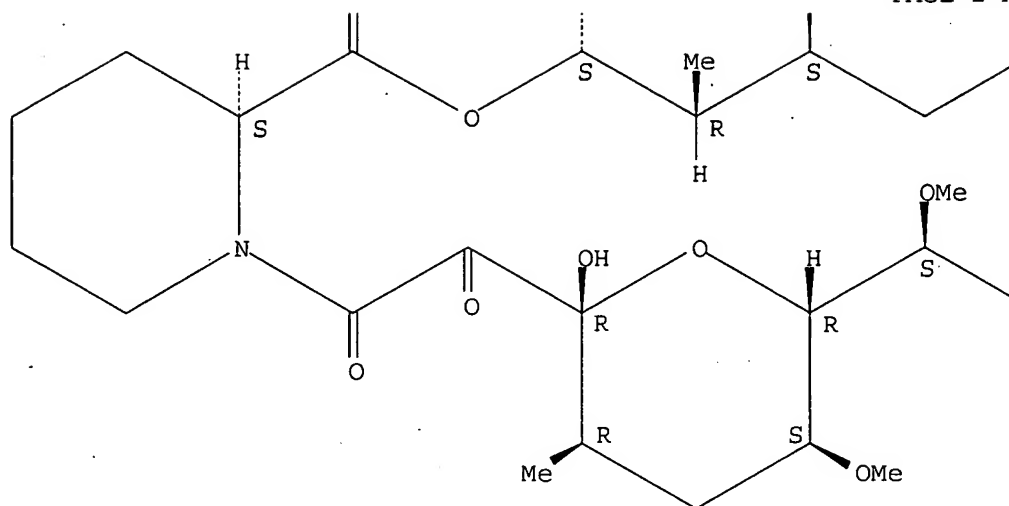
RN 137071-32-0 CAPLUS

CN 15,19-Epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosine-1,7,20,21(4H,23H)-tetrone, 3-[(1E)-2-[(1R,3R,4S)-4-chloro-3-methoxycyclohexyl]-1-methylethenyl]-8-ethyl-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-, (3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS) - (9CI) (CA INDEX NAME)

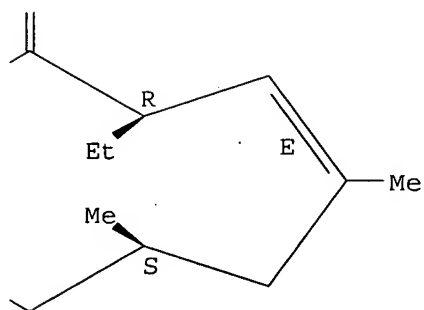
Absolute stereochemistry.

Double bond geometry as described by E or Z.





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